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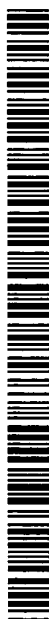
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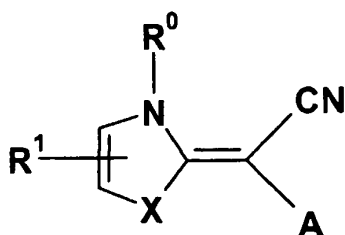
- with international search report
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ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.



WO 03/106455 A1

(54) Title: AZOLE METHYLIDENE CYANIDE DERIVATIVES AND THEIR USE AS PROTEIN KINASE MODULATORS



(I)

(57) Abstract: The present invention is related to azole derivatives notably for use as pharmaceutically active compounds, as well as to pharmaceutical formulations containing such azole derivatives. Said azole derivatives are modulators of the protein kinase signalling pathways, particularly the one involving c-Jun N-terminal kinase and/or Glycogen Kinase Synthase 3. The present invention is furthermore related to novel azole derivatives as well as to methods of their preparation. X is O, S or NR⁰, with R⁰ being H or an unsubstituted or substituted C₁-C₆ alkyl; A is 2-pyridyl, 3-pyridyl, 4-pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl or triazinyl group.

INTERNATIONAL SEARCH REPORT

International Application No
PCT 03/50225

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D417/06 C07D417/14 A61K31/506 A61K31/53 A61P3/10

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

BEILSTEIN Data, EP0-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE CHEMCATS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; retrieved from STN Database accession no. 2002:2290627 XP002218003 RN 406919-18-4 & "Exploratory Library (Catalog)" 21 January 2002 (2002-01-21) , AMBINTER Order Number T0503-7270</p> <p style="text-align: center;">--- -/-</p>	1-4,8-11

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

° Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

7 October 2003

Date of mailing of the international search report

17/10/2003

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INTERNATIONAL SEARCH REPORT

 International Application No
 PCT/03/50225

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DATABASE CHEMCATS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; retrieved from STN Database accession no. 2002:2290629 XP002218005 RN 380390-50-1 & "Exploratory Library (Catalog)" 21 January 2002 (2002-01-21) , AMBINTER Order Number T0503-7272 ---	1-4,8-11
X	DATABASE CHEMCATS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; retrieved from STN Database accession no. 2002:2290615 XP002218009 RN 406919-04-8 & "Exploratory Library (Catalog)" 21 January 2002 (2002-01-21) , AMBINTER Order Number T0503-6829 ---	1-4,8-11
A	WO 01 47920 A (APPLIED RESEARCH SYSTEMS ARS HOLDING N.V.) 5 July 2001 (2001-07-05) the whole document ---	1,14
P,X	BLYUMIN E V ET AL: "Reaction of 2-hetarylacetonitriles with ethyl 2-alkylsulfanyl-4-chloro-5- pyrimidinecarboxylates. Synthesis of new condensed pyrimidines" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 58, no. 28, 8 July 2002 (2002-07-08), pages 5733-5740, XP004369422 ISSN: 0040-4020 the whole document, particularly compounds 9b and 9e -----	1-4,8-11

INTERNATIONAL SEARCH REPORT

International application No.
T/EP 03/50225

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 21 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP 03/50225

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